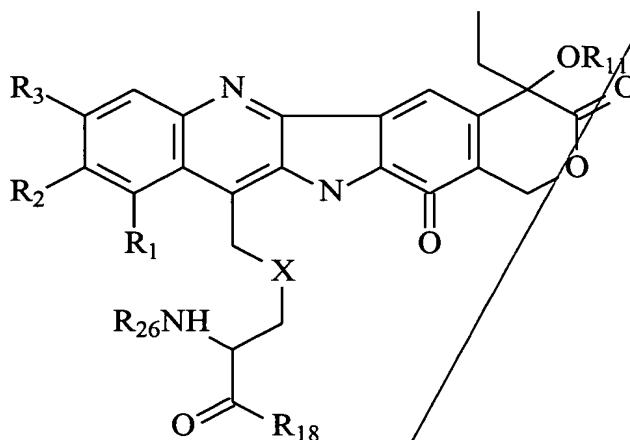


WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTERS  
PATENT OF THE UNITED STATES IS:

1. A compound comprising:



wherein  $R_1$  and  $R_2$ , are each independently

$\text{NO}_2$ ,  $\text{NH}_2$ , H, F, Cl, Br, I,  $\text{COOH}$ , OH,  $\text{O-C}_{1-6}$  alkyl, SH,  $\text{S-C}_{1-6}$  alkyl, CN,  $\text{NH-C}_{1-6}$  alkyl,  $\text{N(C}_{1-6} \text{ alkyl)}_2$ , CHO,  $\text{C}_{1-8}$  alkyl,  $\text{N}_3$ ,

$-\text{Z-(CH}_2)_a\text{-N-((CH}_2)_b\text{OH)}_2$ , wherein Z is selected from the group consisting of O, NH and S, and a and b are each independently an integer of 2 or 3,

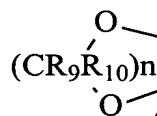
$-\text{Z-(CH}_2)_a\text{-N-(C}_{1-6} \text{ alkyl)}_2$  wherein Z is selected from the group consisting of O, NH and S, and a is an integer of 2 or 3,

$-\text{CH}_2\text{NR}_4\text{R}_5$ , where (a)  $\text{R}_4$  and  $\text{R}_5$  are, independently, hydrogen,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{3-7}$  cycloalkyl,  $\text{C}_{3-7}$  cycloalkyl- $\text{C}_{1-6}$  alkyl,  $\text{C}_{2-6}$  alkenyl, hydroxy- $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy- $\text{C}_{1-6}$  COR<sub>6</sub> where  $\text{R}_6$  is hydrogen,  $\text{C}_{1-6}$  alkyl, perhalo- $\text{C}_{1-6}$  alkyl,  $\text{C}_{3-7}$  cycloalkyl,  $\text{C}_{3-7}$  cycloalkyl- $\text{C}_{1-6}$  alkyl,  $\text{C}_{2-6}$  alkenyl, hydroxy- $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy,  $\text{C}_{1-6}$  alkoxy- $\text{C}_{1-6}$  alkyl, or (b)  $\text{R}_4$  and  $\text{R}_5$  taken together with the nitrogen atom to which they are attached form a saturated 3-7 membered

heterocyclic ring which may contain a O, S or NR<sub>7</sub> group, where R<sub>7</sub> is hydrogen, C<sub>1-6</sub> alkyl, perhalo-C<sub>1-6</sub> alkyl, aryl, aryl substituted with one or more groups selected from the group consisting of C<sub>1-6</sub> alkyl, halogen, nitro, amino, C<sub>1-6</sub> alkylamino, perhalo-C<sub>1-6</sub> alkyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkyl and -COR<sub>8</sub> where R<sub>8</sub> is hydrogen, C<sub>1-6</sub> alkyl, perhalo-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, aryl, and aryl substituted with one or more C<sub>1-6</sub> alkyl, perhalo-C<sub>1-6</sub> alkyl, hydroxy-C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkyl groups;

R<sub>3</sub> is H; or

or R<sub>2</sub> and R<sub>3</sub> combine to form a ring

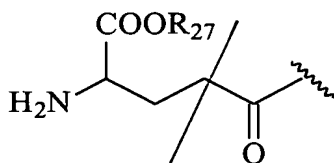


where R<sub>9</sub> and R<sub>10</sub> are each independently H or F and n is an integer of 1 or 2;

R<sub>11</sub> is H, or C(O)-(CH<sub>2</sub>)<sub>m</sub>-NR<sub>12</sub>R<sub>13</sub>, where m is an integer of 1-6 or -C(O)CHR<sub>14</sub>NR<sub>12</sub>R<sub>13</sub>, where R<sub>14</sub> is the side chain of one of the naturally occurring α-amino acids, R<sub>12</sub> and R<sub>13</sub> are, independently, hydrogen, C<sub>1-8</sub> alkyl or -C(O)CHR<sub>15</sub>NR<sub>16</sub>R<sub>17</sub>, where R<sub>15</sub> is the side chain of one of the naturally occurring α-amino acids and R<sub>16</sub> and R<sub>17</sub> are each independently hydrogen or C<sub>1-8</sub> alkyl;

R<sub>18</sub> is OR<sub>19</sub> or R<sub>19</sub>OC(O)-(CH<sub>2</sub>)<sub>m</sub>-NR<sub>20</sub>, or R<sub>21</sub>OC(O)CHR<sub>22</sub>NR<sub>20</sub>, where R<sub>19</sub> is H or C<sub>1-6</sub> alkyl, m is an integer of 1-6, R<sub>22</sub> is the side chain of one of the naturally occurring α-amino acids, R<sub>20</sub> is hydrogen, C<sub>1-8</sub> alkyl or -C(O)CHR<sub>23</sub>NR<sub>24</sub>R<sub>25</sub>, where R<sub>23</sub> is the side chain of one of the naturally occurring α-amino acids and R<sub>24</sub> and R<sub>25</sub> are each independently hydrogen or C<sub>1-8</sub> alkyl;

R<sub>26</sub> is H or



where  $R_{27}$  is H or  $C_{1-6}$  alkyl; and

X is S or O,

provided that  $R_{18}$  and  $R_{26}$  are not both H;

or a pharmaceutically acceptable salt thereof.

2. The compound of Claim 1, which is selected from the group consisting of 7-glutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-monoethylglutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-diethylglutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-cysteinyl(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-cysteinyl(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-cys- $\beta$ -ala-methyl-10,11-methylenedioxy-20(S)-CPT, 7-glu-cys(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-Glu-Cys(thio)methyl-10,11-MD-20(S)-CPT, 7-cys- $\beta$ -ala-methyl-20(S)-CPT, 7-glutathionylmethyl-20(S)-CPT, 7-monoethylglutathionylmethyl-20(S)-CPT, 7-diethylglutathionylmethyl-20(S)-CPT, 7-cysteinyl(thio)methyl-20(S)-CPT and 7-cys-gly-methyl-20(S)-CPT.

3. The compound of Claim 1 wherein  $R_{27}$  is  $C_{1-6}$  alkyl.

4. A pharmaceutical composition comprising an effective amount to inhibit the growth of tumors or to treat leukemia of a compound Claim 1 and a pharmaceutically acceptable carrier.

5. A method of treating cancers susceptible to CPT in a mammal in need thereof, comprising administering to the mammal an effective amount for treating cancers susceptible to CPT of the camptothecin-peptide conjugate of Claim 1.

6. The method of Claim 1, wherein the cancer is a solid tumor.

7. The method of Claim 1, wherein the cancer is leukemia.

8. The method of Claim 1, wherein the mammal is a human.

9. A method for inhibiting the enzyme topoisomerase I, comprising contacting a DNA-topoisomerase I complex with the camptothecin-peptide conjugate of Claim 1.

10. A method for stabilizing the topoisomerase I-DNA cleavable complex, comprising contacting a DNA-topoisomerase I cleavable complex with the camptothecin-peptide conjugate of Claim 1.